

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
7 April 2005 (07.04.2005)

PCT

(10) International Publication Number
WO 2005/030772 A1

(51) International Patent Classification⁷: **C07D 471/04**

(21) International Application Number:
PCT/IN2004/000303

(22) International Filing Date:
24 September 2004 (24.09.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
1209/Del/2003 26 September 2003 (26.09.2003) IN

(71) Applicant (for all designated States except US): **JUBILANT ORGANOSYS LTD.** [IN/IN]; 1A, Sector 16A, Institutional Area, Noida 210 301, Uttar Pradesh (IN).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **SRINIVASA RAO,** Guntur [IN/IN]; House No. 186, 6th Cross, Navilu Road, Kuvempu Nagar, Mysore 570 023, Karnataka (IN).

PRASANNA KUMAR, Basavapatna, N. [IN/IN]; D. No. 315, 16th Cross, Aravinda Nagar, Mysore 570 023, Karnataka (IN). **MANJUNATHA, Sulur, G.** [IN/IN]; D. No. 491 A-B, Chitrabanu Road, Kuvempu Nagar, Mysore 570 023, Karnataka (IN). **KULKARNI, Ashok, Krishna** [IN/IN]; D. No. 1447, C & D Block, Sanje Ravi Road, Kuvempu Nagar, Mysore 570 023, Karnataka (IN).

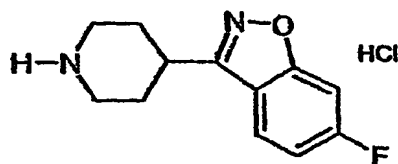
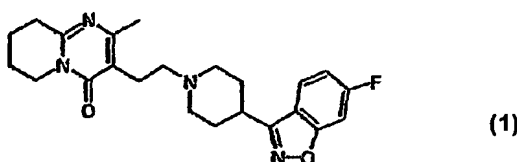
(74) Agent: **GROSER, Francis, Stewart;** D-1/5 DLF Qutab Enclave, Phase-I, Gurgaon 122 002 (IN).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

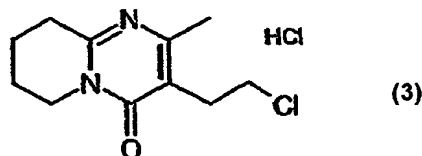
(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH,

[Continued on next page]

(54) Title: **PROCESS FOR THE PREPARATION OF RISPERIDONE**



**Benzisoxazole
Hydrochloride**



**Tetrahydropyrimidine
Hydrochloride**

(57) Abstract: A process is provided for the preparation of risperidone of Formula (1); which process comprises reacting, in a condensation reaction, 6-fluoro-3-(4-piperidinyl)-1,2-benzisoxazole monohydrochloride of Formula (2) with 3-(2-chloroethyl)-6,7,8,9-tetrahydro-2-methyl-4H-pyrido[1,2,a]pyrimidin-4-one monohydrochloride of Formula (3).

WO 2005/030772 A1



GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

ZW, ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG)

Declaration under Rule 4.17:

— as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii)) for the following designations AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM,

Published:

— with international search report
— before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.